

What is Claimed is:

1. A multi-phase combination preparation comprising at least 28 daily dosage units, comprising
- a first phase of at least 21 initial daily dosage units, each comprising a competitive progesterone antagonist in an amount effective to inhibit ovulation during the first phase; and
- a second phase of 5 to 28 daily dosage units, each dosage unit of this second phase comprising a gestagen.
2. A multi-phase combination preparation of claim 1, wherein the first phase comprises 21 to 27 initial daily dosage units.
3. A multi-phase combination preparation of claim 1, wherein the first phase comprises at least 28 and at most 77 initial daily dosage units.
4. A multi-phase combination preparation of claim 1, wherein the first phase comprises 28 initial daily dosage units.
5. A multi-phase combination preparation of claim 1, wherein the second phase comprises 7 to 14 daily dosage units.

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Diag 1/2

Diag 2

6. A multi-phase combination preparation of claim 1, wherein the first phase comprises 21 initial daily dosage units and the second phase comprises 7 daily dosage units.

7. A multi-phase combination preparation of claim 1, wherein the first phase comprises 23 or 24 initial daily dosage units and the second phase comprises 8, 7 or 6 daily dosage units, whereby the total number of daily dosage units of the first and the second phases is 30 or 31.

8. A multi-phase combination preparation of claim 1, wherein the first phase comprises 70 initial daily dosage units and the second phase comprises 14 daily dosage units.

9. A multi-phase combination preparation of claim 1, wherein the first phase comprises 63 initial daily dosage units and the second phase comprises 7 daily dosage units.

10. A multi-phase combination preparation of claim 1, wherein the competitive progesterone antagonist is selected from:

17 α -ethinyl-17 β -hydroxy-11 β -(4-methoxyphenyl)estra-4,9-dien-3-one,

11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(1-propenyl)estra-4,9-dien-3-one,

(Z)-11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estra-4,9-dien-3-one,

11 β -(4-dimethylaminophenyl)-17 β -hydroxy-17 α -(1-propenyl)estra-4,9-dien-3-one,

(Z)-9,11 α -dihydro-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)-6'-(3-pyridinyl)-4'H-naphth[3',2',1':10,9,11]estra-4,9(11)-dien-3-one,

(Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estr-4-en-3-one,

4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-6 β -methylspiro[estra-4,9-dien-17 β ,2'(3'H)-furan]-3-one,

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ding 2

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(CUMM 14)

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4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-7 β -methylspiro[estra-4,9-dien-17 β ,2'(3'*H*)-furan]-3-one, or

11 β -(4-acetylphenyl)-19,24-dinor-17,23-epoxy-17 α -chola-4,9,20-trien-3-one,
or a mixture thereof.

11. A multi-phase combination preparation of claim 1, wherein the gestagen is selected from:

gestodene,
progesterone,
levonorgestrel,
cyproterone acetate,
chlormadinone acetate,
drospirenone (dihydrospirorenone),
norethisterone,
norethisterone acetate,
norgestimate,
desogestrel,
3-ketodesogestrel, or
dienogest,

or a mixture thereof.

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cont

12. A multi-phase combination preparation of claim 1, wherein the daily dosage of the gestagen is:

0.02-0.6 mg of levonorgestrel,
0.02-2.0 mg of cyproterone acetate,
0.01-0.3 mg of gestodene, or
0.02-0.3 mg of desogestrel,

or a bioequivalent dosage of another gestagen.

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13. A multi-phase combination preparation of claim 1, wherein the gestagen gestodene is in a dosage of 0.02 to 0.075 mg.

14. A multi-phase combination preparation of claim 1, wherein the competitive progesterone antagonist (Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estr-4-en-3-one is in a dosage of 0.01-5 mg.

15. A contraceptive kit comprising at least 28 daily dosage units, comprising

a first phase of at least 21 initial daily dosage units, each individual dosage unit comprising a competitive progesterone antagonist in an effective amount to inhibit ovulation during the first above-named phase; and

a second phase of 5 to 28 separate daily dosage units, wherein each dosage unit of the second phase comprises a gestagen.

16. A contraceptive kit of claim 15, wherein the first phase comprises 21 to 27 initial daily dosage units.

17. A contraceptive kit of claim 15, wherein the first phase comprises at least 28 and at most 77 initial daily dosage units.

18. A contraceptive kit of claim 15, wherein the first phase comprises 28 initial daily dosage units.

19. A contraceptive kit of claim 15, wherein the second phase comprises 10 to 25 daily dosage units.

20. A contraceptive kit of claim 15, wherein the first phase comprises 21 initial daily dosage units and the second phase comprises 7 daily dosage units.

21. A contraceptive kit of claim 15, wherein the first phase comprises 23 or 24 initial daily dosage units and the second phase comprises 8, 7 or 6 daily dosage units, whereby the total number of daily dosage units of the first and the second phases is 30 or 31.

22. A contraceptive kit of claim 15, wherein the first phase comprises 70 initial daily dosage units and the second phase comprises 14 daily dosage units.

23. A contraceptive kit of claim 15, wherein the first phase comprises 63 initial daily dosage units and the second phase comprises 7 daily dosage units.

24. A contraceptive kit of claim 15, wherein the competitive progesterone antagonist is selected from:

17 α -ethinyl-17 β -hydroxy-11 β -(4-methoxyphenyl)estra-4,9-dien-3-one,
11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(1-propinyl)estra-4,9-dien-3-one,
(Z)-11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estra-4,9-dien-3-one,
11 β -(4-dimethylaminophenyl)-17 β -hydroxy-17 α -(1-propinyl)estra-4,9-dien-3-one,
(Z)-9,11 α -dihydro-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)-6'-(3-pyridinyl)-4'H-naphth[3',2',1':10,9,11]estra-4,9(11)-dien-3-one,
(Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)-estr-4-en-3-one,
4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-6 β -methylspiro[estra-4,9-dien-17 β ,2'(3'H)-furan]-3-one,
4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-7 β -methylspiro[estra-4,9-dien-17 β ,2'(3'H)-furan]-3-one, or
11 β -(4-acetylphenyl)-19,24-dinor-17,23-epoxy-17 α -chola-4,9,20-trien-3-one,
or a mixture thereof.

25. A contraceptive kit of claim 15, wherein the gestagen is selected from:

gestodene,
progesterone,
levonorgestrel,
cyproterone acetate,
chlormadinone acetate,

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drospirenone (dihydrospirorenone),
norethisterone,
norethisterone acetate,
norgestimate,
desogestrel,
3-ketodesogestrel, or
dienogest,
or a mixture thereof.

26. A contraceptive kit of claim 15, wherein the daily dosage of gestagen is:

0.02-0.6 mg of levonorgestrel,
0.02-2.0 mg of cyproterone acetate,
0.01-0.3 mg of gestodene, or
0.02-0.3 mg of desogestrel,

or a bioequivalent dosage of another gestagen.

27. A contraceptive kit of claim 15, wherein the gestagen gestodene is in a dosage of 0.02 to 0.075 mg.

28. A contraceptive kit of claim 15, wherein the competitive progesterone antagonist (Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estr-4-en-3-one is in a dosage of 0.01-5 mg.

29. A contraceptive kit comprising at least 28 daily dosage units, comprising:

a first phase of at least 21 separate initial daily dosage units, comprising a competitive progesterone antagonist in an effective amount to inhibit ovulation during the first above-named phase; and

a second phase of 5 to 28 separate daily dosage units, wherein each dosage unit of the second phase comprises a gestagen,

wherein

the respective dosage units are in periodically repeating subunits separated from one another spatially and/or by other markings,

whereby

the dosage units that are present in the first phase comprise at least 21 daily dosage units in a kit, and

the daily dosage units that are present in the second phase comprise at least 7 daily dosage units.

30. A contraceptive kit of claim 29, wherein the individual subunits can be separated from one another by perforations or other devices suitable for separation.

*Dep claim
C cl. 47* 31. A contraceptive kit of claim 29, wherein the separate subunits each contain 7 dosage units.

32. A contraceptive kit of claim 29, wherein the separate subunits of the first phase each contain 7 dosage units.

33. A method for contraception in a female mammal, comprising administering, in an at least 28-day sequential administration regimen:

a first phase of at least 21 initial daily dosage units comprising a competitive progesterone antagonist in an amount effective to inhibit ovulation during the first above-named phase, and

a second phase of 7 to 28 daily dosage units, wherein each dosage unit comprises a gestagen.

34. A method for contraception of claim 33, wherein during the first phase, 21 to 27 initial daily dosage units are administered.

35. A method for contraception of claim 33, wherein during the first phase, at least 28 and at most 77 initial daily dosage units are administered.

36. A method for contraception of claim 33, wherein during the first phase, 28 initial daily dosage units are administered.

37. A method for contraception of claim 33, wherein during the second phase, 7 to 14 daily dosage units are administered.

38. A method for contraception of claim 33, wherein the first phase comprises 21 initial daily dosage units and the second phase comprises 7 daily dosage units.

39. A method for contraception of claim 33, wherein the first phase comprises 23 or 24 initial daily dosage units and the second phase comprises 8, 7 or 6 daily dosage units, whereby the total number of daily dosage units of the first and the second phases is 30 or 31.

40. A method for contraception of claim 33, wherein the first phase comprises 70 initial daily dosage units and the second phase comprises 14 daily dosage units.

41. A method for contraception of claim 33, wherein the first phase comprises 63 initial daily dosage units and the second phase comprises 7 daily dosage units.

42. A method for contraception of claim 33, wherein the competitive progesterone antagonist is selected from:

17 α -ethinyl-17 β -hydroxy-11 β -(4-methoxyphenyl)estra-4,9-dien-3-one,

11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(1-propinyl)estra-4,9-dien-3-one,

(Z)-11 β -(4-acetylphenyl)-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estra-4,9-dien-3-one,

11 β -(4-dimethylaminophenyl)-17 β -hydroxy-17 α -(1-propinyl)estra-4,9-dien-3-one,

(Z)-9,11 α -dihydro-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)-6'-(3-pyridinyl)-4'*H*-naphth[3',2',1':10,9,11]estra-4,9(11)-dien-3-one,

(Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)-estr-4-en-3-one,

4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-6 β -methylspiro[estra-4,9-dien-17 β ,2'(3'*H*)-furan]-3-one,

4',5'-dihydro-11 β -[4-(dimethylamino)phenyl]-7 β -methylspiro[estra-4,9-dien-17 β ,2'(3'*H*)-furan]-3-one, or

11 β -(4-acetylphenyl)-19,24-dinor-17,23-epoxy-17 α -chola-4,9,20-trien-3-one, or a mixture thereof.

~~43.~~ A method for contraception of claim 33, wherein the gestagen is selected from:

gestodene,
progesterone,
levonorgestrel,
cyproterone acetate,
chlormadinone acetate,
drospirenone (dihydrospirorenone),
norethisterone,
norethisterone acetate,
norgestimate,
desogestrel,
3-ketodesogestrel, or
dienogest,

or a mixture thereof.

~~44.~~ A method for contraception of claim 33, wherein the daily dosage of gestagen is

0.02-0.6 mg of levonorgestrel,
0.02-2.0 mg of cyproterone acetate,
0.01-0.3 mg of gestodene,
0.02-0.3 mg of desogestrel

or a bioequivalent dosage of another gestagen.

~~45.~~ A method for contraception of claim 33, wherein the gestagen gestodene is in a dosage of 0.02 to 0.075 mg.

~~46.~~ A method for contraception of claim 33, wherein the competitive progesterone antagonist (Z)-11 β -[4-(dimethylamino)phenyl]-17 β -hydroxy-17 α -(3-hydroxy-1-propenyl)estr-4-en-3-one is in a dosage of 0.01-5 mg.

Dep. cl. 7
cl. 31 47. A contraceptive kit of claim 29, wherein the separate subunits each contain 7 dosage units. */B*

48. A contraceptive kit of claim 30, wherein the separate subunits of the first phase each contain 7 dosage units.

49. A contraceptive kit of claim 31, wherein the separate subunits of the first phase each contain 7 dosage units.

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add B₁
add C₁